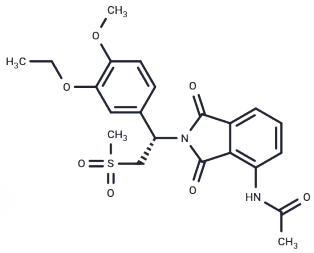
Data Sheet (Cat.No.T2923)

TargetMol

Apremilast

Chemical Properties



CAS No. : 608141-41-9

Formula: C22H24N2O7S

Molecular Weight: 460.50

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Apremilast (CC-10004) (CC-10004) is a potent and orally active PDE4 (IC50=74 nM) with anti-inflammation activities.
Targets(IC50)	Apoptosis,PDE,TNF
In vitro	Apremilast inhibits TNF-α release by lipopolysaccharide (LPS) with an IC50 of 104 nM (pIC50=6.98±0.2), which almost exactly replicates previous reported TNF-α inhibition by Apremilast on peripheral blood mononuclear cells (PBMCs) (IC50=110 nM) and which is similar to the potency of Apremilast for PDE4 enzymatic inhibition (IC50=74 nM). These results are clearly consistent with the hypothesis that Apremilast inhibits TNF-α by increasing intracellular cAMP levels. PKA, Epac1 and Epac2 knockdowns prevented TNF-α inhibition and IL-10 stimulation by Apremilast[1].
Cell Research	Apremilast is solubilized in DMSO and stored, and then diluted with appropriate media (DMSO 0.025%) before use[1]. Raw 264.7 cells (100,000) are grown in 96-well plates. After 24 h, cells are stimulated with vehicle (final concentration of 0.025% DMSO) or with Apremilast at the indicated concentrations. After 30 minutes cells are stimulated with LPS 1 μg/mL for 4 h. When studying CGS21680 , SCH58261, ZM241385, BAY60-6583, or GS6201, the adenosine receptor ligands are added 15 minutes before Apremilast. Methotrexate is added 24 h and 1 h before Apremilast. Supernates are then collected and TNF-α levels are quantified with the Mouse TNF-α Quantikine ELISA Kit. IC50 (EC50) calculations are made using non-linear regression, sigmoidal dose-response, constraining the top to 100 % and bottom to 0 %, allowing variable slope, using GraphPad Prism v6.00[1].

Solubility Information

Solubility	DMSO: 58.33 mg/mL (126.67 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (21.72 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (21.72 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1716 mL	10.8578 mL	21.7155 mL
5 mM	0.4343 mL	2.1716 mL	4.3431 mL
10 mM	0.2172 mL	1.0858 mL	2.1716 mL
50 mM	0.0434 mL	0.2172 mL	0.4343 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Perez-Aso M, et al. Apremilast, a novel phosphodiesterase 4 (PDE4) inhibitor, regulates inflammation through multiple cAMP downstream effectors. Arthritis Res Ther. 2015 Sep 15;17:249.
Chen LG, et al. Determination of Apremilast in Rat Plasma by UPLC-MS-MS and Its Application to a Pharmacokinetic Study. J Chromatogr Sci. 2016 Sep;54(8):1336-40.